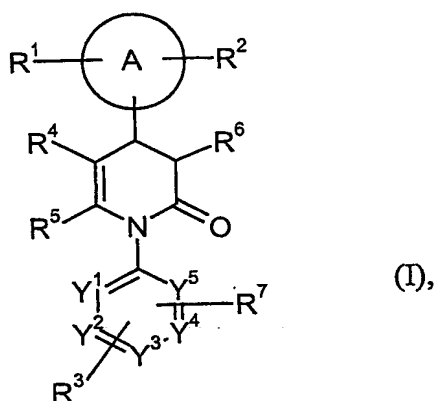


**We claim**

1. Compounds of the general formula (I)



wherein

A represents an aryl or heteroaryl ring,

$R^1$ ,  $R^2$  and  $R^3$  independently from each other represent hydrogen, halogen, nitro, cyano, trifluoromethyl,  $C_1$ - $C_6$ -alkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy or trifluoromethoxy, wherein  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of hydroxy and  $C_1$ - $C_4$ -alkoxy,

$R^4$  represents  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl,  $C_2$ - $C_6$ -alkenoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $C_1$ - $C_6$ -alkylaminocarbonyl,  $C_3$ - $C_6$ -cycloalkylaminocarbonyl, N-(heterocyclyl)-aminocarbonyl or cyano, wherein  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, mono- and di- $C_1$ - $C_6$ -alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy,  $C_1$ - $C_4$ -alkoxy, hydroxycarbonyl,  $C_1$ - $C_4$ -alkoxycarbonyl, amino, mono- and di- $C_1$ - $C_4$ -alkylamino, aminocarbonyl, mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl,

C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, phenyl, heteroaryl and heterocyclyl, and wherein phenyl can be further substituted with halogen and wherein N-(heterocyclyl)-aminocarbonyl can be further substituted with C<sub>1</sub>-C<sub>4</sub>-alkyl or benzyl,

5

R<sup>5</sup> represents C<sub>1</sub>-C<sub>4</sub>-alkyl,

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R<sup>6</sup> represents hydrogen, cyano, aminocarbonyl, mono- or di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylaminocarbonyl, arylaminocarbonyl, N-aryl-N-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylcarbonyl, arylcarbonyl, hydroxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub>-alkenoxycarbonyl or aryloxycarbonyl, wherein mono- and di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, arylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl and C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, benzyloxy, tri-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-silyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyloxy, hydroxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, aminocarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkylaminocarbonyl, heterocyclylcarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, phenyl, heteroaryl and heterocyclyl, and wherein mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl can be further substituted with hydroxy or C<sub>1</sub>-C<sub>4</sub>-alkoxy,

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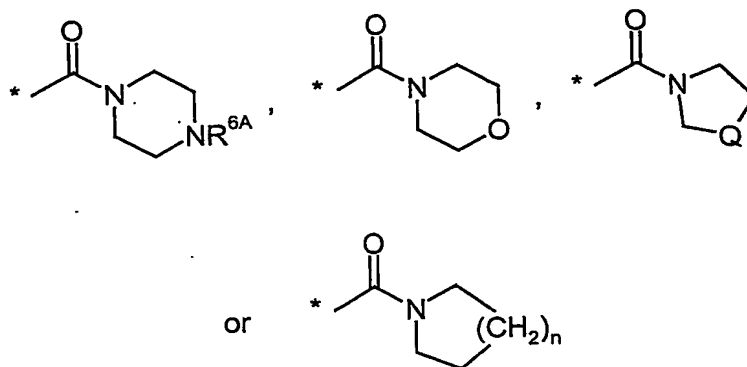
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or

R<sup>6</sup> represents a moiety of the formula

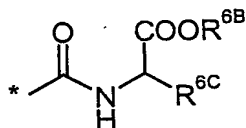
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wherein  $R^{6A}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_4$ -alkylcarbonyl, Q represents O or S, and n represents an integer of 1 or 2,

or

$R^6$  represents a moiety of the formula



wherein  $R^{6B}$  is selected from the group consisting of hydrogen and  $C_1$ - $C_6$ -alkyl, and  $R^{6C}$  is an amino acid side chain,

$R^7$  represents hydrogen, halogen, nitro, cyano, trifluoromethyl,  $C_1$ - $C_6$ -alkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy or trifluoromethoxy, wherein  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of hydroxy and  $C_1$ - $C_4$ -alkoxy,

and

$Y^1$ ,  $Y^2$ ,  $Y^3$ ,  $Y^4$  and  $Y^5$  independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms,

and their salts, hydrates and/or solvates, and their tautomeric forms.

5

2. Compounds of general formula (I) according to Claim 1, wherein

A represents an aryl or heteroaryl ring,

10

$R^1$ ,  $R^2$  and  $R^3$  independently from each other represent hydrogen, halogen, nitro, cyano, trifluoromethyl,  $C_1$ - $C_6$ -alkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy or trifluoromethoxy, wherein  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of hydroxy and  $C_1$ - $C_4$ -alkoxy,

15

$R^4$  represents  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $C_1$ - $C_4$ -alkylaminocarbonyl or cyano, wherein  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy,  $C_1$ - $C_4$ -alkoxy, hydroxycarbonyl,  $C_1$ - $C_4$ -alkoxycarbonyl, amino, mono- and di- $C_1$ - $C_4$ -alkylamino, aminocarbonyl, mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_1$ - $C_4$ -alkylcarbonylamino and heteroaryl,

20

25

$R^5$  represents  $C_1$ - $C_4$ -alkyl,

$R^6$  represents hydrogen, cyano, aminocarbonyl, mono- or di- $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_3$ - $C_8$ -cycloalkylaminocarbonyl,  $C_1$ - $C_6$ -alkylcarbonyl, hydroxycarbonyl or  $C_1$ - $C_6$ -alkoxycarbonyl, wherein mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_1$ - $C_6$ -alkylcarbonyl and  $C_1$ - $C_6$ -alkoxy-

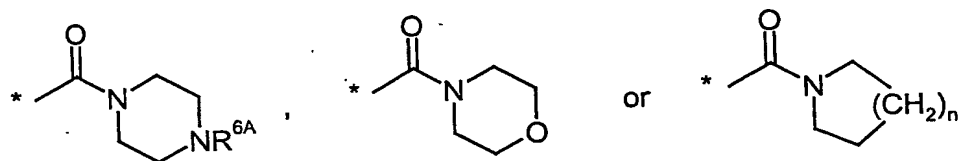
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carbonyl can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, hydroxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, aminocarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, phenyl and heteroaryl,

or

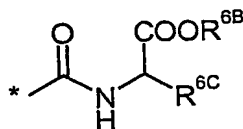
R<sup>6</sup> represents a moiety of the formula



wherein R<sup>6A</sup> is selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl, and n represents an integer of 1 or 2,

or

R<sup>6</sup> represents a moiety of the formula



wherein R<sup>6B</sup> is selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl, and R<sup>6C</sup> is an amino acid side chain,

R<sup>7</sup> represents hydrogen, halogen, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy or trifluoromethoxy, wherein C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy can be further substituted with one to three

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identical or different radicals selected from the group consisting of hydroxy and C<sub>1</sub>-C<sub>4</sub>-alkoxy,

and

5

Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup> and Y<sup>5</sup> independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

3. Compounds of general formula (I) according to Claim 1 or 2, wherein

10

A represents an aryl ring,

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> independently from each other represent hydrogen, fluoro, chloro, bromo, nitro, cyano, methyl, ethyl, trifluoromethyl or trifluoromethoxy,

15

R<sup>4</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl or cyano, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl and C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl can be substituted with one to two identical or different radicals selected from the group consisting of hydroxy, methoxy, hydroxycarbonyl, methoxycarbonyl, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino,

20

R<sup>5</sup> represents methyl or ethyl,

25

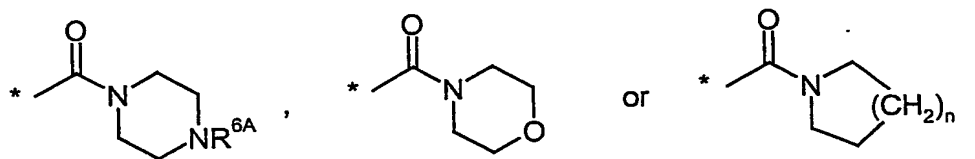
R<sup>6</sup> represents hydrogen, cyano, aminocarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, hydroxycarbonyl or C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl,

or

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R<sup>6</sup> represents a moiety of the formula

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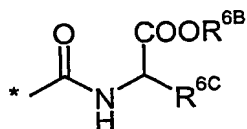


wherein  $R^{6A}$  is selected from the group consisting of hydrogen, methyl and ethyl, and  $n$  represents an integer of 1 or 2,

5

or

$R^6$  represents a moiety of the formula



10

wherein  $R^{6B}$  is selected from the group consisting of hydrogen, methyl and ethyl, and  $R^{6C}$  is an amino acid side chain,

15

$R^7$  represents hydrogen, halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, methyl or ethyl,

and

20

$Y^1$ ,  $Y^2$ ,  $Y^3$ ,  $Y^4$  and  $Y^5$  each represent CH.

4. Compounds of general formula (I) according to Claim 1, 2 or 3, wherein

A represents a phenyl ring,

25

$R^1$  represents hydrogen or methyl,

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R<sup>2</sup> represents cyano, bromo or nitro,

R<sup>3</sup> represents hydrogen,

5 R<sup>4</sup> represents C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or cyano, wherein C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl and C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl can be substituted with hydroxycarbonyl or C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl,

R<sup>5</sup> represents methyl,

10

R<sup>6</sup> represents hydrogen, cyano, aminocarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, hydroxycarbonyl or C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl,

R<sup>7</sup> represents trifluoromethyl or nitro,

15

and

Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup> and Y<sup>5</sup> each represent CH.

20

5. Compounds of general formula (I) according to at least one of Claims 1 to 4, wherein A is phenyl.

6. Compounds of general formula (I) according to at least one of Claims 1 to 5, wherein R<sup>1</sup> is hydrogen.

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7. Compounds of general formula (I) according to at least one of Claims 1 to 6, wherein R<sup>2</sup> is cyano.

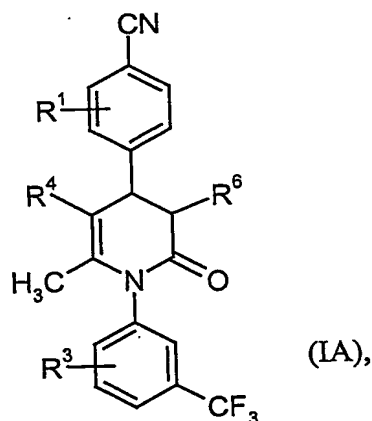
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8. Compounds of general formula (I) according to at least one of Claims 1 to 7, wherein R<sup>3</sup> is hydrogen.



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9. Compounds of general formula (I) according to at least one of Claims 1 to 8,  
wherein  $R^4$  is  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl or cyano.
10. Compounds of general formula (I) according to at least one of Claims 1 to 9,  
wherein  $R^5$  is methyl.
11. Compounds of general formula (I) according to at least one of Claims 1 to 10,  
wherein  $R^6$  is hydrogen, cyano, aminocarbonyl, mono- and di-methyl- or  
-ethylaminocarbonyl, methoxycarbonyl or ethoxycarbonyl.
12. Compounds of general formula (I) according to at least one of Claims 1 to 11,  
wherein  $R^7$  is trifluoromethyl or nitro.
13. Compounds of general formula (IA)

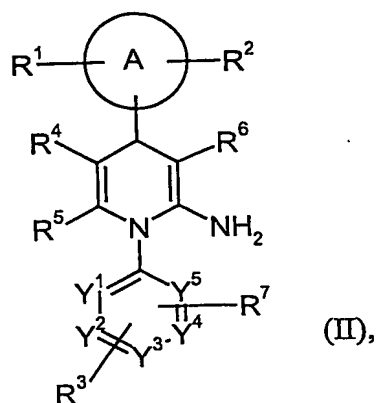


wherein  $R^1$ ,  $R^3$ ,  $R^4$  and  $R^6$  have the meaning indicated in Claims 1 to 12.

14. Processes for synthesizing the compounds of general formula (I) or (IA),  
respectively, as defined in Claims 1 to 13, characterized in that

[A] compounds of the general formula (II)

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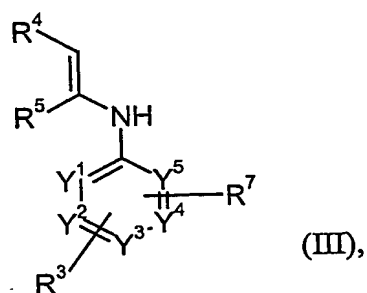


wherein  $R^1$  to  $R^7$ , A and  $Y^1$  to  $Y^5$  have the meaning indicated in Claims 1 to 13,

are hydrolyzed with water,

or

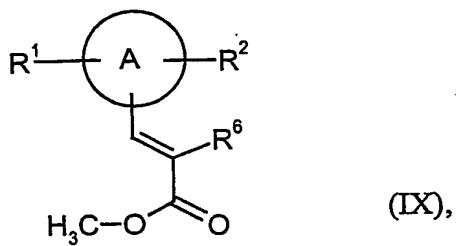
[B] compounds of the general formula (III)



wherein  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ , and  $Y^1$  to  $Y^5$  have the meaning indicated in Claims 1 to 13,

are reacted with compounds of the general formula (IX)

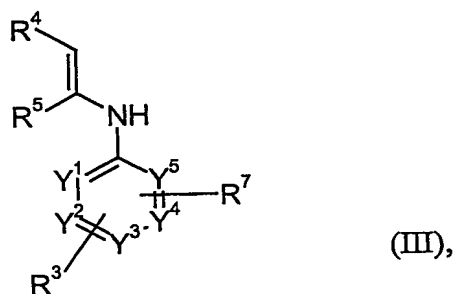
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wherein  $R^1$ ,  $R^2$ ,  $R^6$  and A have the meaning indicated in Claims 1 to 13,

5 or

[C] compounds of the general formula (III)

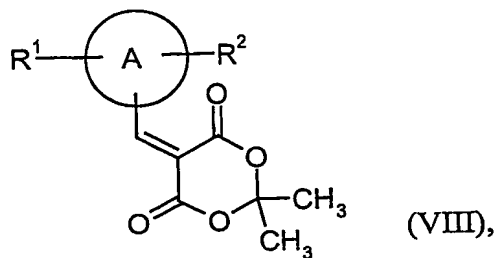


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wherein  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ , and  $Y^1$  to  $Y^5$  have the meaning indicated in Claims 1 to 13,

are reacted with compounds of the general formula (VIII)

15



wherein R<sup>1</sup> and R<sup>2</sup> have the meaning indicated in Claims 1 to 13.

15. The composition containing at least one compound of general formula (I) or (IA), as defined in Claims 1 to 13, and a pharmacologically acceptable diluent.
- 5 16. A composition according to Claim 15 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.
- 10 17. The process for the preparation of compositions according to Claim 15 and 16 characterized in that the compounds of general formula (I) or (IA), as defined in Claims 1 to 13, together with customary auxiliaries are brought into a suitable application form.
- 15 18. Use of the compounds of general formula (I) or (IA), as defined in Claims 1 to 13, for the preparation of medicaments.
- 20 19. Use according to Claim 18 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.
- 20 20. Use according to Claim 19, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.
- 25 21. Process for controlling chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure in humans and animals by administration of an neutrophil elastase inhibitory amount of at least one compound according to any of Claims 1 to 13.